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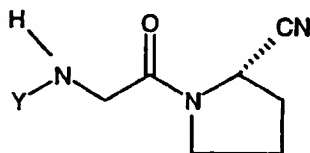
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- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

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(54) Title: 2-CYANOPYRROLIDINE DERIVATIVES AND THEIR USE AS MEDICAMENTS



(I)

(57) Abstract: The present invention relates to certain N-(substituted glycy)-2-cyanopyrrolidines of formula (I) wherein Y is as defined herein, in free form or in acid addition salt form. Compounds of formula (I) inhibit DPP-IV (dipeptidyl-peptidase-IV) activity. They are therefore indicated for use as pharmaceuticals in inhibiting DPP-IV and in the treatment of conditions mediated by DPP-IV, such as non-insulin-dependent diabetes mellitus, arthritis, obesity, osteoporosis and further conditions of impaired glucose tolerance.

# INTERNATIONAL SEARCH REPORT

national Application No  
PCT/EP 01/06595

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D401/12 C07D207/16 C07D403/12 C07D417/12 C07D409/12  
A61K31/40 A61K31/4439 A61K31/506 A61K31/428 A61K31/427  
A61P3/10

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 98 19998 A (CIBA GEIGY AG; VILLHAUER EDWIN BERNARD (US)) 14 May 1998 (1998-05-14) claims; examples 1-66 ---	1-10
Y	US 6 011 155 A (VILLHAUER EDWIN BERNARD) 4 January 2000 (2000-01-04) claims; examples ---	1-10
Y	T. E. HUGHES ET AL.: "(1-''2-(5-Cyanopyridin-2-yl)amino!-acetyl!-2-cyano(S)-pyrrolidine), a Slow-Binding Inhibitor of Dipeptidyl Peptidase IV" BIOCHEMISTRY, vol. 38, no. 36, 1999, pages 11597-11603, XP002184055 page 11597, abstract; page 11598, Chart 1 --- -/--	1-10

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

### \* Special categories of cited documents

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
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- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

\*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

\*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

\*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

\*G\* document member of the same patent family

Date of the actual completion of the international search

26 November 2001

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10/12/2001

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# INTERNATIONAL SEARCH REPORT

national Application No

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## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	<p>BALKAN B ET AL: "INHIBITION OF DIPEPTIDYL PEPTIDASE IV WITH NVP-DPP728 INCREASES PLASMA GLP-1 (7-36 AMIDE) CONCENTRATIONS AND IMPROVES ORAL GLUCOSE TOLERANCE IN OBESE ZUCKER RATS"</p> <p>DIABETOLOGIA, BERLIN, DE, vol. 42, no. 11, November 1999 (1999-11), pages 1324-1331, XP000921066 ISSN: 0012-186X page 1324, abstract; page 1325, figure 1</p> <p>---</p>	1-10
A	<p>EP 0 419 683 A (JAPAN TOBACCO INC; YOSHITOMI PHARMACEUTICAL (JP)) 3 April 1991 (1991-04-03) page 30, line 1 - line 12; claims</p> <p>-----</p>	1-10

FURTHER INFORMATION CONTINUED FROM PCT/SA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. Claims: 1-3, 7-10 (all partly)

Compounds of formula (I) wherein Y is a substituted 1,1-dimethylethyl group, and their pharmaceutical use

2. Claims: 1-3, 7-10 (all partly), 4-6

Compounds of formula (I) wherein Y is a substituted cyclohexyl group, and their pharmaceutical use

3. Claims: 1-3, 7-10 (all partly)

Compounds of formula (I) wherein Y is a substituted piperidiny group, and their pharmaceutical use

4. Claims: 1-3, 7-10 (all partly)

Compounds of formula (I) wherein Y is a carbobicyclic system, and their pharmaceutical use

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP 01/06595

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